What we claim is:

1. A compound having the Formula:

$$\mathbb{R}^{A} \longrightarrow \mathbb{R}^{2}$$

$$\mathbb{R}^{2} \longrightarrow \mathbb{R}^{0}$$

$$\mathbb{R}^{0}$$

or a pharmaceutically acceptable salt thereof, wherein;

5 B is the Formula:

independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio,

alkanoyloxy, alkoxy, alkoxyalkyl, haloalkoxylalkyl, hydroxy, amino, alkoxyamino, nitro, lower alkylamino, alkylthio, alkylthioalkyl, alkylsulfinyl, alkylsulfonyl, alkylsulfonylalkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, alkylsulfonamido, alkylaminosulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyalkyl, aminoalkyl, haloalkoxyalkyl, carboxyalkyl, carboxy, carboxy, carboxamido, carboxamidoalkyl, and cyano;

 R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are optionally selected from the group consisting of heteroaryl and heterocyclyl with the proviso that R^9 , R^{10} , R^{11} ,

20 R¹², and R¹³ are substitutents for other than B;

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 R^{16} , R^{19} , R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B may be optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R³², R³³, R³⁴, R³⁵, and R³⁶;

B is selected from the group consisting of C3-C12 cycloalkyl and C4-heterocyclyl, wherein each ring carbon may be optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A may be optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and nitrogens adjacent to the carbon at the point of attachment may be optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment may be substituted with R^{10} , a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment may be substituted with R^{12} , a ring carbon three atoms from the point of attachment and adjacent to the R^{10} position may be substituted with R^{11} , a ring carbon three atoms from the point of attachment and adjacent to the R^{12} position may be substituted with R^{13} , and a ring carbon four atoms from the point of attachment and adjacent to the R^{12} position may be substituted with R^{33} , and a ring carbon four atoms from the point of attachment and adjacent to the R^{11} and R^{33} positions may be substituted with R^{34} ;

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A is selected from the group consisting of single covalent bond, $(W^7)_{rr} - (CH(R^{15}))_{pa} \text{ and } (CH(R^{15}))_{pa} - (W^7)_{rr} \text{ wherein } rr \text{ is an integer}$ selected from 0 through 1, pa is an integer selected from 0 through 6, and W^7 is selected from the group consisting of O, S, C(O), $(R^7)NC(O)$, $(R^7)NC(S)$.

and N(R⁷) with the proviso that no more than one of the group consisting of rr and pa is 0 at the same time;

R⁷ is selected from the group consisting of hydrido, hydroxy, and alkyl;

R¹⁵ is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

 Ψ is selected from the group consisting of NH and NOH; M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, alkyl, alkenyl, cyano, halo, haloalkyl, haloalkoxy, haloalkylthio, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

$$R^2$$
 is Z^0 -Q;

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Z⁰ is selected from the group consisting of covalent single bond,

(CR ⁴¹R ⁴²)_q wherein q is an integer selected from 1 through 3, (CH(R ⁴¹))_g
20 W⁰-(CH(R ⁴²))_p wherein g and p are integers independently selected from 0

through 3 and W⁰ is selected from the group consisting of O, S, C(O), S(O),

N(R ⁴¹), and ON(R ⁴¹), and (CH(R ⁴¹))_e-W ²²-(CH(R ⁴²))_h wherein e and h

are integers independently selected from 0 through 1 and W ²² is selected from

the group consisting of CR ⁴¹=CR ⁴², 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2
cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3
morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-

morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, with the proviso that Z⁰ is directly bonded to the pyrazinone ring;

R⁴¹ and R⁴² are independently selected from the group consisting of amidino, hydroxyamino, hydrido, hydroxy, amino, and alkyl;

Q is selected from the group consisting of hydrido, with the proviso that Z^0 is other than a covalent single bond, and the formula (II):

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wherein D^1 , D^2 , J^1 , J^2 and K^1 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is O, no more than one of D^1 , D^2 , J^1 , J^2 and K^1 is S, one of D^1 , D^2 , J^1 , J^2 and K^1 must be a covalent bond when two of D^1 , D^2 , J^1 , J^2 and K^1 are O and S, and no more than four of D^1 , D^2 , J^1 , J^2 and K^1 are N, with the proviso that R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen:

K is $(CR^{4a}R^{4b})_n$ wherein n is an integer selected from 1 through 2;

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 R^{4a} and R^{4b} are independently selected from the group consisting of halo, hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl; E^0 is E^1 , when K is $(CR^{4a}R^{4b})_n$, wherein E^1 is selected from the group consisting of a covalent single bond, C(O), C(S), $C(O)N(R^7)$.

 $(R^7)NC(O), S(O)_2, (R^7)NS(O)_2, and S(O)_2N(R^7);$

Y⁰ is formula (IV):

wherein D⁵, D⁶, J⁵, and J⁶ are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K² is C, no more than one of D⁵, D⁶, J⁵, and J⁶ is O, no more than one of D⁵, D⁶, J⁵, and J⁶ is S, one of D⁵, D⁶, J⁵, and J⁶ must be a covalent bond when two of D⁵, D⁶, J⁵, and J⁶ are O and S, and no more than four of D⁵, D⁶, J⁵, and J⁶ are N:

R 17, R 18, and R 19 are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, nitro, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, alkylamino, haloalkoxyalkyl, carboalkoxy, and cyano;



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 Q^b is selected from the group consisting of NR 20 R 21 , aminoalkylenyl, Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of R^{23} and R^{24} is hydroxy, amino, alkylamino, or dialkylamino at the same time;

R²⁰, R²¹, R²³, R²⁴, R²⁵, and R²⁶ are independently selected from the group consisting of hydrido, alkyl, hydroxy, aminoalkylenyl, amino, dialkylamino, alkylamino, and hydroxyalkyl;

 Q^{S} is selected from the group consisting of a single covalent bond, $(CR^{37}R^{38})_b$ wherein b is an integer selected from 1 through 4, and $(CH(R^{14}))_c$ - W^{1} - $(CH(R^{15}))_d$ wherein c and d are integers independently selected from 1 through 3 and W^{1} is selected from the group consisting of $C(O)N(R^{14})$, $(R^{14})NC(O)$, S(O), $S(O)_2$, $S(O)_2N(R^{14})$, $N(R^{14})S(O)_2$, and $N(R^{14})$, with the provisos that R^{14} is selected from other than halo when directly bonded to N and that $(CR^{37}R^{38})_b$, and $(CH(R^{14}))_c$ are bonded to E^{0} ;

R¹⁴ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R³⁷ and R³⁸ are independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

R³⁸ is optionally selected from the group consisting of aroyl and heteroaroyl;

 Y^0 is optionally Q^b - Q^{ss} wherein Q^{ss} is $(CH(R^{14}))_e$ - W^2 - $(CH(R^{15}))_h$, wherein e and h are integers independently selected from 1 through 2 and W^2 is CR^{4a} = CR^{4b} with the proviso that $(CH(R^{14}))_e$ is bonded to E^0 ;

 Y^0 is optionally selected from the group consisting of Q^b - Q^{ssss} and Q^b - Q^{ssssr} wherein Q^{ssss} is $(CH(R^{38}))_r$ - W^5 and Q^{ssssr} is $(CH(R^{38}))_r$ - W^6 , r is an

integer selected from 1 through 2, and W⁵ and W⁶ are independently selected from the group consisting of 1,4-indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-benzofuranyl, 2,5-benzofuranyl, 2,6-

benzofuranyl, 2,7-benzofuranyl, 3,4-benzofuranyl, 3,5-benzofuranyl, 3,6-benzofuranyl, 3,7-benzofuranyl, 2,4-benzothiophenyl, 2,5-benzothiophenyl, 2,5-benzothiophenyl, 3,5-benzothiophenyl, 3,6-benzothiophenyl, 3,7-benzothiophenyl, 3,7-benzothiophenyl, 2,7-imidazo(1,2-a)pyridinyl, 3,4-imidazo(1,2-a)pyridinyl, 3,5-imidazo(1,2-a)

a)pyridinyl, 3,6-imidazo(1,2-a)pyridinyl, 3,7-imidazo(1,2-a)pyridinyl, 2,4-indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-isoindolyl, 1,6-isoindolyl, 2,4-isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-isoindolyl, 1,3-isoindolyl, 3,4-indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-indazolyl, 2,4-benzoxazolyl, 2,5-

benzoxazolyl, 2,6-benzoxazolyl, 2,7-benzoxazolyl, 3,4-benzisoxazolyl, 3,5-benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-benzisoxazolyl, 1,4-naphthyl, 1,5-naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-naphthyl, 2,4-naphthyl, 2,5-naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl, 2,4-quinolinyl, 2,5-quinolinyl, 2,6-quinolinyl, 2,7-quinolinyl, 2,8-quinolinyl, 3,4-quinolinyl, 3,5-

quinolinyl, 3,6-quinolinyl, 3,7-quinolinyl, 3,8-quinolinyl, 4,5-quinolinyl, 4,6-quinolinyl, 4,7-quinolinyl, 4,8-quinolinyl, 1,4-isoquinolinyl, 1,5-isoquinolinyl, 1,6-isoquinolinyl, 1,7-isoquinolinyl, 1,8-isoquinolinyl, 3,4-isoquinolinyl, 3,5-isoquinolinyl, 3,6-isoquinolinyl, 3,7-isoquinolinyl, 3,8-isoquinolinyl, 4,5-isoquinolinyl, 4,6-isoquinolinyl, 4,7-isoquinolinyl, 4,8-isoquinolinyl, 3,5-isoquinolinyl, 3,5-isoquinolinyl, 3,6-isoquinolinyl, 4,7-isoquinolinyl, 4,8-isoquinolinyl, 3,5-isoquinolinyl, 3,5-isoquinolinyl, 3,5-isoquinolinyl, 4,8-isoquinolinyl, 3,5-isoquinolinyl, 3,5-isoquinolinyl, 3,5-isoquinolinyl, 4,8-isoquinolinyl, 4,8-isoquinolinyl, 3,5-isoquinolinyl, 3,5-isoquinolinyl, 3,5-isoquinolinyl, 4,8-isoquinolinyl, 4,8

isoquinolinyl, 3,4-cinnolinyl, 3,5-cinnolinyl, 3,6-cinnolinyl, 3,7-cinnolinyl, 3,8-cinnolinyl, 4,5-cinnolinyl, 4,6-cinnolinyl, 4,7-cinnolinyl, and 4,8-cinnolinyl, and each carbon and hyrido containing nitrogen member of the ring

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of the W⁵ and of the ring of the W⁶, other than the points of attachment of W⁵ and W⁶, is optionally substituted with one or more of the group consisting of R^9 , R^{10} , R^{11} , and R^{12} , with the provisos that Q^b is bonded to lowest number substituent position of each W⁵, Q^b is bonded to highest number substituent position of each W⁶, and $(CH(R^{38}))_r$ is bonded to E⁰.

2. The compound as recited in Claim 1 having the Formula:

or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula:

 R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the

group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino, alkoxyamino, haloalkanoyl, nitro, lower alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, alkenyl, haloalkyl, haloalkoxy, hydroxyalkyl, alkylamino, carboalkoxy, carboxy, carboxamido, cyano, and Ob;

B is optionally selected from the group consisting of hydrido, trialkylsilyl; C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R³², R³³, R³⁴, R³⁵, and R³⁶;

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B is selected from the group consisting of C3-C12 cycloalkyl and C4heterocyclyl, wherein each ring carbon may be optionally substituted with R³³, a ring carbon other than the ring carbon at the point of attachment of B to A may be optionally substituted with oxo provided that no more than one ring 10 carbon is substituted by oxo at the same time, ring carbons and nitrogens adjacent to the carbon at the point of attachment may be optionally substituted with R or R 13, a ring carbon or nitrogen adjacent to the R position and two atoms from the point of attachment may be substituted with R 10, a ring carbon or nitrogen adjacent to the R 13 position and two atoms from the point of 15 attachment may be substituted with R 12, a ring carbon three atoms from the point of attachment and adjacent to the R 10 position may be substituted with R¹¹, a ring carbon three atoms from the point of attachment and adjacent to the R 12 position may be substituted with R 33, and a ring carbon four atoms from the point of attachment and adjacent to the R 11 and R 33 positions may be 20 substituted with R³⁴:

R⁹, R¹⁰, R¹¹, R¹², and R¹³ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl,

dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

 R^9 , R^{10} , R^{11} , R^{12} , and R^{13} are optionally selected from the group consisting of heteroaryl and heterocyclyl with the proviso that R^9 , R^{10} , R^{11} ,

 R^{12} , and R^{13} are substitutents for other than B;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}$ - $(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is selected from the group consisting of O, S, C(O), $(R^7)NC(O)$, $(R^7)NC(S)$, and $N(R^7)$;

R⁷ is selected from the group consisting of hydrido, hydroxy and alkyl;
R¹⁵ is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

$$R^2$$
 is Z^0 -O:

 Z^0 is selected from the group consisting of covalent single bond and $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 2, $(CH(R^{41}))_g$ - W^0 - $(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0 through 3 and W^0 is selected from the group consisting of O, S, and $N(R^{41})$, and $(CH(R^{41}))_e$ - W^{22} - $(CH(R^{42}))_h$ wherein e and h are integers independently selected from 0 through 1 and W^{22} is selected from the group consisting of





CR ⁴¹=CR ⁴², 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, with the proviso that Z⁰ is directly bonded to the pyrazinone ring;

10 R⁴¹ and R⁴² are independently selected from the group consisting of hydrido, hydroxy, and amino;

Q is selected from the group consisting of hydrido, with the proviso that Z⁰ is other than a covalent single bond, aryl, and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally substituted by R¹², and any carbon adjacent to both R¹⁰ and R¹² is optionally substituted by R¹¹;

K is CHR wherein R is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

 E^{0} is selected from the group consisting of a covalent single bond, C(O)N(H), (H)NC(O), $(R^{7})NS(O)_{2}$, and $S(O)_{2}N(R^{7})$;

 Y^0 is formula (IV):

wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one can be a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 ,

and J^6 can be O, no more than one of D^5 , D^6 , J^5 , and J^6 can be S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 can be N, with the provisos that R^{16} , R^{17} , R^{18} , and R^{19} are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

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 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

 Q^b is selected from the group consisting of NR 20 R 21 , Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the

20 provisos that no more than one of R²⁰ and R²¹ is hydroxy, amino, alkylamino, or

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dialkylamino at the same time and that no more than one of R^{23} and R^{24} is hydroxy, amino, alkylamino, or dialkylamino at the same time;

R²⁰, R²¹, R²³, R²⁴, R²⁵, and R²⁶ are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

 Q^s is selected from the group consisting of a single covalent bond, $(CR^{37}R^{38})_b$ wherein b is an integer selected from 1 through 4, and $(CH(R^{14}))_c$ - W^1 - $(CH(R^{15}))_d$ wherein c and d are integers independently selected from 1 through 3 and W^1 is selected from the group consisting of $C(O)N(R^{14})$, $(R^{14})NC(O)$, S(O), $S(O)_2$, $S(O)_2N(R^{14})$, $N(R^{14})S(O)_2$, and $N(R^{14})$, with the provisos that R^{14} is selected from other than halo when directly bonded to N and that $(CR^{37}R^{38})_b$, and $(CH(R^{14}))_c$ are bonded to E^0 ;

R¹⁴ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R³⁷ and R³⁸ are independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

R³⁸ is optionally selected from the group consisting of aroyl and heteroaroyl;

 Y^0 is optionally $Q^b - Q^{ss}$ wherein Q^{ss} is $(CH(R^{14}))_e - W^2 - (CH(R^{15}))_h$, wherein e and h are integers independently selected from 1 through 2 and W^2 is $CR^{4a} = CH$ with the proviso that $(CH(R^{14}))_e$ is bonded to E^0 .

3. The compound as recited in Claim 2 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to



and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b;

A is (CH(R¹⁵))_{pa}-W⁷ wherein pa is an integer selected from 1 through

3 and W⁷ is selected from the group consisting of O, S, and N(R⁷) wherein

R⁷ is selected from the group consisting of hydrido and alkyl;

 R^{15} is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl with the proviso that R^{15} is other than hydroxy and halo when R^{15} is on the carbon bonded directly to W^7 ;

M is selected from the group consisting of N and R^1 -C;

R¹ is selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

 $R^2 \text{ is } Z^0 - Q;$

 Z^0 is selected from the group consisting of covalent single bond and $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 2;

 R^{41} and R^{42} are independently selected from the group consisting of hydrido, hydroxy, and amino;

Q is selected from the group consisting of aryl and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally

substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R⁹, R¹⁰, R¹¹, R¹², and R¹³ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

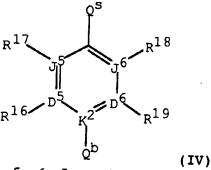
K is CHR^{4a} wherein R^{4a} is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

E⁰ is selected from the group consisting of a covalent single bond, C(O)N(H), (H)NC(O), (R⁷)NS(O)₂, and S(O)₂N(R⁷);

Y⁰ is formula (IV):

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wherein D⁵, D⁶, J⁵, and J⁶ are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more

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than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

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R 16, R 17, R 18, and R 19 are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, alkylamino, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

 Q^b is selected from the group consisting of NR 20 R 21 , Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy, amino, alkylamino, or

dialkylamino at the same time and that no more than one of R²³ and R²⁴ is hydroxy, amino, alkylamino, or dialkylamino at the same time;

 R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

Q^S is selected from the group consisting of a single covalent bond,

20 (CR³⁷R³⁸)_b wherein b is an integer selected from 1 through 3, and

(CH(R¹⁴))_c-W¹-(CH(R¹⁵))_d wherein c and d are integers independently selected from 1 through 2 and W¹ is selected from the group consisting of C(O)N(R¹⁴), (R¹⁴)NC(O), S(O), S(O)₂, S(O)₂N(R¹⁴), N(R¹⁴)S(O)₂, and

 $N(R^{14})$, with the provisos that R^{14} is selected from other than halo when directly bonded to N and that $(CR^{37}R^{38})_b$, and $(CH(R^{14}))_c$ are bonded to E^0 ;

R¹⁴ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

R³⁷ and R³⁸ are independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

R³⁸ is optionally selected from the group consisting of aroyl and heteroaroyl;

 Y^{0} is optionally $Q^{b}-Q^{ss}$ wherein Q^{ss} is $(CH(R^{14}))_{e}-W^{2}-(CH(R^{15}))_{h}$,

- wherein e and h are integers independently selected from 1 through 2 and W^2 is CR^{4a} =CH with the proviso that $(CH(R^{14}))_e$ is bonded to E^0 .
 - 4. The compound as recited in Claim 3 having the Formula:

or a pharmaceutically acceptable salt thereof, wherein;

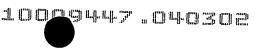
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B is selected from the group consisting of hydrido, trialkylsilyl, C2-C4 alkyl, C3-C5 alkylenyl, C3-C4 alkenyl, C3-C4 alkynyl, and C2-C4 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 3 atoms from the point of attachment of B to A with one or more of the group consisting of R³², R³³, and R³⁴.

R³², R³³, and R³⁴ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl,

25



monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

A is $(CH(R^{15}))_{pa}$ -N(R⁷) wherein pa is an integer selected from 1 through 2 and R⁷ is selected from the group consisting of hydrido and alkyl;

5 R¹⁵ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

 R^2 is Z^0 -Q;

 Z^0 is selected from the group consisting of covalent single bond and CH_2 ;

Q is selected from the group consisting of aryl and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally substituted by R¹⁰, and any carbon adjacent to both R¹⁰ and R¹² is optionally substituted by R¹¹;

R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

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R¹⁰ and R¹² are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cvano:

Y⁰ is formula (IV):

wherein D⁵, D⁶, J⁵, and J⁶ are independently selected from the group

consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K² is C, no more than one of D⁵, D⁶, J⁵, and J⁶

is O, no more than one of D⁵, D⁶, J⁵, and J⁶ is S, one of D⁵, D⁶, J⁵, and J⁶

must be a covalent bond when two of D⁵, D⁶, J⁵, and J⁶ are O and S, and no more than four of D⁵, D⁶, J⁵, and J⁶ are N;

15 R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

 Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R²⁰, R²¹, R²³, R²⁴, R²⁵, and R²⁶ are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

 Q^s is selected from the group consisting of a single covalent bond, CH_2 , and CH_2CH_2 .

5. The compound as recited in Claim 4 having the Formula or a pharmaceutically acceptable salt thereof, wherein;

15

B is selected from the group consisting of ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, trimethylene, tetramethylene, butyl, 2-butenyl, 3-butenyl, 2-butynyl, sec-butyl, tert-butyl, isobutyl, 2-methylpropenyl, 2,2,2-trifluoroethyl, 3,3,3-trifluoropropyl, and 2,2-difluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 3 atoms from the point of attachment of B to A with one or more of the group consisting of R ³², R ³³, and R ³⁴;

 R^{32} , R^{33} , and R^{34} are independently selected from the group

- consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro,
- bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

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A is selected from the group consisting of single covalent bond, NH, and N(CH₃);

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

10 R^2 is Z^0 -Q;

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 Z^0 is selected from the group consisting of a covalent single bond and CH_2 ;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally substituted by R¹², and any carbon adjacent to both R¹⁰ and R¹² is optionally substituted by R¹¹;

25 R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio,

trifluor methyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxymethyl, 2,2,3,3,3-pentafluoroethyl, pentafluoroethyl, 1-hydroxyethyl, 2-hydroxymethyl, 2,2,3,3,3-pentafluoroethyl, 2,2,3,3,3-pentafluoroethyl, 1,1,2,2-tetrafluoroethyl, 1,1,2,2-tetrafluoroethyl, 1,2,2-tetrafluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, 2-pentafluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-pentafluoroethyl, 2,2,3,3,3-pentafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-pentafluoroethyl, 2,2,3,3,3-pentafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-pentafluoroethyl, pentafluoroethyl, pentafluoroethyl, pentafluoroethoxy, fluoroethyl, pentafluoroethyl, pentafluoroethyl

5 hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

R¹⁰ and R¹² are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,

methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino,
methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,Ndimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl,
2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl,

amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

Y⁰ is selected from the group consisting of:

$$3-Q^{b}-5-Q^{s}-4-R^{16}-2-R^{19}$$
 furan, $2-Q^{b}-5-Q^{s}-3-R^{16}-4-R^{17}$ furan,

 $2-Q^{b}-5-Q^{s}-4-R^{16}$ pyrazole, $4-Q^{b}-2-Q^{s}-5-R^{19}$ thiazole, and $2-Q^{b}-5-Q^{s}-4-R^{17}$ thiazole;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino. guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

 Q^{be} is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos that no more than one of R^{20} , R^{21} , R^{23} , and R^{24} can be hydroxy, when any two of the group consisting of R^{20} , R^{21} , R^{23} , and R^{24}

are bonded to the same atom and that said Q b group is bonded directly to a carbon atom;

 R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

Q^s is selected from the group consisting of a single covalent bond,

25 CH₂, and CH₂CH₂.

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6. The compound as recited in Claim 4 having the Formula:

or a pharmaceutically acceptable salt thereof, wherein;

A is selected from the group consisting of CH₂N(CH₃),

5 $CH_2N(CH_2CH_3)$, $CH_2CH_2N(CH_3)$, and $CH_2CH_2N(CH_2CH_3)$;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$$R^2$$
 is Z^0 -Q;

 Z^{0} is selected from the group consisting of covalent single bond and

15 CH₂;

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10

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^{9} and two atoms from the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^{10} , a carbon adjacent to

 R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

 R^9 , R^{11} , and R^{13} are independently selected from the group consisting

- of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro,
- chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

R 10 and R 12 are independently selected from the group consisting of

- hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-
- dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

Y⁰ is selected from the group consisting of:

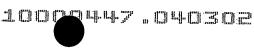
2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸ pyrimidine, 5-Q^b-2-Q^s-3-R¹⁶-6-R¹⁹ pyrimidine, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ furan, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ pyrrole, 4-Q^b-2-Q^s-5-R¹⁹ imidazole, 2-Q^b-4-Q^s-5-R¹⁷ imidazole, 3-Q^b-5-Q^s-4-R¹⁶ isoxazole, 5-Q^b-3-Q^s-4-R¹⁶ isoxazole, 2-Q^b-5-Q^s-4-R¹⁶ isoxazole, 3-Q^b-5-Q^s-4-R¹⁶ pyrazole, 4-Q^b-2-Q^s-5-R¹⁹ thiazole, and 2-Q^b-5-Q^s-4-R¹⁷ thiazole;

R 16, R 17, R 18, and R 19 are independently selected from the group

10 consisting of hydrido, methyl, ethyl, isopropyl, propyl, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1aminoethyl, 2-aminoethyl, N-N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

Q^b is selected from the group consisting of NR²⁰R²¹,

C(NR²⁵)NR²³R²⁴, and N(R²⁶)C(NR²⁵)N(R²³)(R²⁴), with the provisos that no more than one of R²⁰, R²¹, R²³, and R²⁴ can be hydroxy, when any two of the group consisting of R²⁰, R²¹, R²³, and R²⁴ are bonded to the same atom and that said Q^b group is bonded directly to a carbon atom;



 R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

Q^S is selected from the group consisting of a single covalent bond,

- 5 CH₂, and CH₂CH₂.
 - 7. The compound as recited in Claim 6 or a pharmaceutically acceptable salt thereof, wherein;

A is selected from the group consisting of $CH_2N(CH_3)$,

10 $CH_2N(CH_2CH_3)$, $CH_2CH_2N(CH_3)$, and $CH_2CH_2N(CH_2CH_3)$;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

$$R^2$$
 is Z^0 -O:

 Z^0 is selected from the group consisting of covalent single bond and CH_2 ;

Q is selected from the group consisting of 5-amino-3amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxy-5aminophenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3-

dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,





2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y⁰ is selected from the group consisting of:

R¹⁶ and R¹⁹ are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

R¹⁷ and R¹⁸ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and C(NR²⁵)NR²³R²⁴;

 R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

Q^S is CH₂.

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8. A compound as recited in Claim 7 or a pharmaceutically acceptable salt thereof where said compound is selected from the group consisting of:

2-[3-[2-[3-aminophenyl]-6-chloro-N-[[4-iminomethylphenyl]methyl]5-[N,N-dimethylhydrazino]-4-oxo-1(4H)-pyrimidinyl]]acetamide;

2-[3-[3-aminophenyl]-6-chloro-5-[N-ethyl-N-methylhydrazino]-N-[[4-iminomethylphenyl]methyl]-4-oxo-1(4H)-pyrimidinyl]]acetamide;

2-[3-[2-[3-aminophenyl]-5-[N-(azetidin-1-yl)amino]-6-chloro-N-[[4-iminomethylphenyl]methyl]-4-oxo-1(4H)-pyrimidinyl]] acetamide;

2-[4-[3-[3-aminophenyl]-N-[[4-iminomethylphenyl]methyl]-6-[N,N-dimethylhydrazino]-5-oxo-1(5H)-1,2,4-triazinyl]] acetamide;

2-[4-[3-[3-aminophenyl]-6-[N-ethyl-N-methylhydrazino]-N-[[4-iminomethylphenyl]methyl]-5-oxo-1(5H)-1,2,4-triazinyl]]acetamide;

2-[4-[3-[3-aminophenyl]-6-[N,N-diethylhydrazino]-N-[[4-iminomethylphenyl]methyl]-5-oxo-1(5H)-1,2,4-triazinyl]]acetamide;

2-[4-[3-[3-aminophenyl]-6-[N-(azetidin-1-yl)amino]-N-[[4-iminomethylphenyl]methyl]-5-oxo-1(5H)-1,2,4-triazinyl]]acetamide.

9. The compound as recited in Claim 2 having the Formula:

or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula:

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 R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the

20 group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo,

haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}$ - $(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is selected from the group consisting of $(R^7)NC(O)$ and $N(R^7)$:

R⁷ is selected from the group consisting of hydrido, hydroxy and alkyl;
R¹⁵ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

10 M is selected from the group consisting of N and R^1 -C;

R¹ is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

15 R^2 is Z^0 -Q;

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Z⁰ is selected from the group consisting of a covalent single bond, O, S, NH, and CH₂;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{13} , and any carbon adjacent to both R^{13} and R^{12} is optionally substituted by R^{11} ;

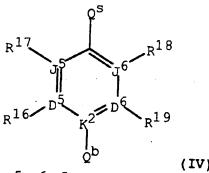
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R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R¹⁰ and R¹² are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

Y⁰ is formula (IV):



wherein D⁵, D⁶, J⁵, and J⁶ are independently selected from the group

consisting of C, N, O, S and a covalent bond with the provisos that no more
than one is a covalent bond, K² is C, no more than one of D⁵, D⁶, J⁵, and J⁶

is O, no more than one of D⁵, D⁶, J⁵, and J⁶ is S, one of D⁵, D⁶, J⁵, and J⁶

must be a covalent bond when two of D⁵, D⁶, J⁵, and J⁶ are O and S, and no
more than four of D⁵, D⁶, J⁵, and J⁶ are N;

R 16, R 17, R 18, and R 19 are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl,

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alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

 Q^b is selected from the group consisting of NR 20 R 21 , Q^{be} wherein Q^{be} is hydrido, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R²⁰, R²¹, R²³, R²⁴, and R²⁵ are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

 Q^s is selected from the group consisting of a single covalent bond, CH_2 , and CH_2CH_2 .

10. The compound as recited in Claim 9 or a pharmaceutically acceptable salt
 thereof, wherein;

B is the Formula:

 R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} are independently selected from the

group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-

trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl,

methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b ;

A is selected from the group consisting of single covalent bond, NH, N(CH₃), N(OH), CH₂, CH₃CH, CF₃CH, NHC(O), N(CH₃)C(O), C(O)NH, C(O)N(CH₃), CH₂CH₂, CH₂CH₂CH₂, CH₃CHCH₂, and

10 CF₃CHCH₂;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$$R^2$$
 is Z^0 -O:

Z⁰ is selected from the group consisting of a covalent single bond, O,

20 S, NH, and CH₂;

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Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^{9} and two atoms from the carbon at





the point of attachment is optionally substituted by R 10, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally substituted by R¹², and any carbon adjacent to both R¹⁰ and R¹² is optionally substituted by R¹¹:

 R^9 , R^{11} , and R^{13} are independently selected from the group consisting 5 of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, 10 chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, Nmethylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

 R^{10} and R^{12} are independently selected from the group consisting of 15 hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,Ndimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

Y⁰ is selected from the group consisting of: 25 1-0^b-4-0^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹benzene, 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine.

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3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 2-Q^b-4-Q^s-3-R¹⁶-6-R¹⁸ pyrazine, 3-Q^b-6-Q^s-2-R¹⁸-5-R¹⁸-4-R¹⁹ pyrimidine, 5-Q^b-2-Q^s-3-R¹⁶-6-R¹⁹ pyrimidine, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene.

5 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ furan, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ pyrrole, 4-Q^b-2-Q^s-5-R¹⁹ imidazole, 2-Q^b-5-Q^s-3-R¹⁶ isoxazole, 3-Q^b-5-Q^s-4-R¹⁶ isoxazole, 5-Q^b-3-Q^s-4-R¹⁶ isoxazole, and

10 2-Q^b-5-Q^s-4-R¹⁷ thiazole.

R 17, R 18, and R 19 are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cvano:

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido

25 and C(NR²⁵)NR²³R²⁴, with the proviso that no more than one of R²³ and R²⁴ is hydroxy at the same time;

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 R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, methyl, ethyl, and hydroxy;

Q^S is selected from the group consisting of a single covalent bond, CH₂ and CH2CH2.

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11. The compound as recited in Claim 10 or a pharmaceutically acceptable salt thereof, wherein:

B is selected from the group consisting of 2-aminophenyl, 3aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, and 3trifluoromethylphenyl;

A is selected from the group consisting of CH₂, CH₃CH, CF₃CH,

NHC(O), CH₂CH₂, and CH₂CH₂CH₂;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

$$\mathbb{R}^2$$
 is \mathbb{Z}^0 -Q;

 Z^0 is selected from the group consisting of a covalent single bond, O,

S, NH, and CH2;

25 Q is selected from the group consisting of 5-amino-3amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-30

hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-

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hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 3-methylphenyl, 4-methylphenyl, 3-methylphenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

10 Y⁰ is selected from the group consisting of:

R and R are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

20 R¹⁷ and R¹⁸ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

 Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

R²³, R²⁴, and R²⁵ are independently selected from the group consisting of hydrido and methyl;

Q^S is CH₂.

12. The compound as recited in Claim 9 having the Formula:

or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula:

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R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is $N(R^7)$:

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R⁷ is selected from the group consisting of hydrido and alkyl;

 R^{15} is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and R¹-C;



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R¹ is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

5 R^2 is Z^0 -Q;

 Z^0 is a covalent single bond;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is

optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R, R, and R, are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkylamidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R and R are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyamido, carboxyalkyl, and cyano;

Y⁰ is formula (IV):

wherein D⁵, D⁶, J⁵, and J⁶ are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K² is C, no more than one of D⁵, D⁶, J⁵, and J⁶ is O, no more than one of D⁵, D⁶, J⁵, and J⁶ is S, one of D⁵, D⁶, J⁵, and J⁶ must be a covalent bond when two of D⁵, D⁶, J⁵, and J⁶ are O and S, and no more than four of D⁵, D⁶, J⁵, and J⁶ are N;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

 Q^b is selected from the group consisting of NR²⁰R²¹, Q^{be} wherein Q^{be} is hydrido, and $C(NR^{25})NR^{23}R^{24}$:

 R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and alkyl;

Q^s is CH₂.

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13. The compound as recited in Claim 12 or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula:

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R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cvano, and O^b:

A is selected from the group consisting of single covalent bond, NH, $N(CH_3)$, CH_2 , CH_3CH , and CH_2CH_2 ;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

 R^2 is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by

 R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

10 R¹⁰ and R¹² are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

Y⁰ is selected from the group consisting of:

$$R^{16}$$
, R^{17} , R^{18} , and R^{19} are independently selected from the group

consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl,

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methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano.

Q^b is selected from the group consisting of NR²⁰R²¹ and

5 C(NR²⁵)NR²³R²⁴, with the proviso that said Q^b group is bonded directly to a carbon atom;

 R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, methyl, and ethyl;

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14. The compound as recited in Claim 13 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methoxyphenyl, and phenyl;

A is selected from the group consisting of CH₂, CH₃CH, CF₃CH,

20 NHC(O), CH₂CH₂, and CH₂CH₂CH₂;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, methyl, trifluoromethyl, fluoro, and chloro;

R² is selected from the group consisting of 5-amino-3amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl,
3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl,
benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-



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cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 3-methylphenyl, 4-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y⁰ is selected from the group consisting of:

10 $1-Q^{b}-4-Q^{s}-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene,

2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine,

3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹pyridine,

3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹thiophene, and 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷thiophene;

R 16 and R 19 are independently selected from the group consisting of

hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

 R^{17} and R^{18} are independently selected from the group consisting of

20 hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and C(NR²⁵)NR²³R²⁴;

 R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

 Q^{S} is CH_{2} .

15. The compound as recited in Claim 14 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, and phenyl;

A is selected from the group consisting of CH_2 , NHC(O),

CH₂CH₂,and CH₂CH₂CH₂;

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M is selected from the group consisting of N and R 1-C;

R¹ is selected from the group consisting of hydrido, fluoro, and chloro;

R² is selected from the group consisting of 3-aminophenyl, benzyl, 3-chlorophenyl, 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

Y⁰ is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

16. A compound as recited in Claim 9 where said compound is selected from20 the group having the Formula:

or a pharmaceutically acceptable salt thereof, wherein:

 R^2 is 3-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CH;

25 R² is 3-aminophenyl, B is phenyl, A is CH₂, Y⁰ is 4-amidinobenzyl, and M is CH;

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 R^2 is phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CH;

- R^2 is 3-dimethylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CH_3 ;
- R² is 2-methylphenyl, B is phenyl, A is CH₂CH₂, Y⁰ is 4-amidinobenzyl, and M is CH;
 - R^2 is phenyl, B is 3-aminophenyl, A is C(O)NH, Y^0 is 4-amidinobenzyl, and M is CH;
- R² is phenyl, B is 3-amidinophenyl, A is CH₂, Y⁰ is 4-amidinobenzyl, and M is CH;
 - R^2 is 3-(N-methylamino)phenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CH;
 - R^2 is 3-methylsulfonamidophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CH;
- R² is phenyl, B is 4-amidinophenyl, A is CH₂, Y⁰ is 4-amidinobenzyl, and M is CH;
 - R^2 is 3-methylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CH;
 - R² is phenyl, B is phenyl, A is CH₂, Y⁰ is 4-amidinobenzyl, and M is CH;
- 20 R² is 3-methylphenyl, B is 4-phenyl, A is CH₂CH₂, Y⁰ is 4-amidinobenzyl, and M is CH;
 - R^2 is 3-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CCI;
- R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is CCl;
 - R^2 is phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Υ^0 is 4-amidinobenzyl, and M is CCl:



- R^2 is 3-dimethylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CCl;
- R^2 is 2-methylphenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CCl;
- R² is phenyl, B is 3-aminophenyl, A is C(O)NH, Y⁰ is 4-amidinobenzyl, and M is CCl;
 - R^2 is phenyl, B is 3-amidinophenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is CCl;
- R^2 is 3-(N-methylamino)phenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-10 amidinobenzyl, and M is CCl;
 - R^2 is 3-methylsulfonamidophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CCl;
 - R^2 is phenyl, B is 4-amidinophenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is CCl;
- 15 R² is 3-methylaminophenyl, B is phenyl, A is CH₂CH₂, Y⁰ is 4-amidinobenzyl, and M is CCl;
 - R^2 is phenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is CCl;
- R² is 3-methylphenyl, B is 4-phenyl, A is CH₂CH₂, Y⁰ is 4-20 amidinobenzyl, and M is CCl;
 - R^2 is 3-aminophenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CF:
 - R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Υ^0 is 4-amidinobenzyl, and M is CF;
- R² is phenyl, B is 3-chlorophenyl, A is CH₂CH₂, Y⁰ is 4-amidinobenzyl, and M is CF;

 R^2 is 3-dimethylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CF;

 R^2 is 2-methylphenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CF;

R² is phenyl, B is 3-aminophenyl, A is C(O)NH, Y⁰ is 4-amidinobenzyl, and M is CF;

 R^2 is phenyl, B is 3-amidinophenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is CF;

R² is 3-(N-methylamino)phenyl, B is phenyl, A is CH₂CH₂, Y⁰ is 4-10 amidinobenzyl, and M is CF;

 R^2 is 3-methylsulfonamidophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CF;

 R^2 is phenyl, B is 4-amidinophenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is CF;

15 R² is 3-methylaminophenyl, B is phenyl, A is CH₂CH₂, Y⁰ is 4-amidinobenzyl, and M is CF;

R² is phenyl, B is phenyl, A is CH₂, Y⁰ is 4-amidinobenzyl, and M is CF;

 R^2 is 3-methylphenyl, B is 4-phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is CF;

20 R² is 3-aminophenyl, B is 3-chlorophenyl, A is CH₂CH₂, Y⁰ is 4-amidinobenzyl, and M is N;

 R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is N;

 R^2 is phenyl, B is 3-chlorophenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is N;

 R^2 is 3-dimethylaminophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is N;



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 R^2 is 2-methylphenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is N;

 R^2 is phenyl, B is 3-aminophenyl, A is C(O)NH, Y^0 is 4-amidinobenzyl, and M is N;

R² is phenyl, B is 3-amidinophenyl, A is CH₂, Y⁰ is 4-amidinobenzyl, and M is N;

 R^2 is 3-(N-methylamino)phenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is N;

 R^2 is 3-methylsulfonamidophenyl, B is phenyl, A is CH_2CH_2 , Y^0 is 4-amidinobenzyl, and M is N;

 R^2 is phenyl, B is 4-amidinophenyl, A is CH_2 , Υ^0 is 4-amidinobenzyl, and M is N;

 R^2 is 3-methylaminophenyl, B is phenyl, A is $\text{CH}_2\text{CH}_2,\ Y^0$ is 4-amidinobenzyl, and M is N;

R² is phenyl, B is phenyl, A is CH₂, Y⁰ is 4-amidinobenzyl, and M is N;

R² is 3-methylphenyl, B is 4-phenyl, A is CH₂CH₂, Y⁰ is 4-amidinobenzyl, and M is N.

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17. The compound as recited in Claim 2 having the Formula:

or a pharmaceutically acceptable salt thereof, wherein;

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B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R³², R³³, R³⁴, R³⁵, and R³⁶;

R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and O^b:

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}$ - $(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is selected from the group consisting of $(R^7)NC(O)$ and $N(R^7)$;

R⁷ is selected from the group consisting of hydrido, hydroxy and alkyl;
R¹⁵ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and R¹-C;

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R¹ is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

 R^2 is Z^0 -Q; 5

> Z^0 is selected from the group consisting of a covalent single bond, O, S, NH, and CH₂;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is 10 optionally substituted by R 13, a carbon adjacent to R and two atoms from the carbon at the point of attachment is optionally substituted by R 10, a carbon adjacent to R 13 and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R 11.

 R^9 , R^{11} , and R^{13} are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R 10 and R 12 are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

Y⁰ is formula (IV):

wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

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 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R²⁰, R²¹, R²³, R²⁴, R²⁵, and R²⁶ are independently selected from the group consisting of hydrido, alkyl, and hydroxy;



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 Q^{s} is selected from the group consisting of a single covalent bond, CH₂, and CH₂CH₂.

18. The compound as recited in Claim 17 or a pharmaceutically acceptable salt thereof, wherein:

B is selected from the group consisting of hydrido, ethyl, 2-propynyl, 2-propenyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butynyl, secbutyl, tert-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-10 butenyl, 1-methyl-2-butynyl, 3-pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2methyl-2-butenyl, 2-methyl-3-butenyl, 2-methyl-3-butynyl, 3-methylbutyl, 3methyl-2-butenyl, 3-methyl-3-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4hexenyl, 5-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl, 1-methyl-2-pentynyl, 1methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-15 propenyl, 1-ethyl-2-butynyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5heptenyl, 6-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1methyl-5-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-20 hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-4-pentenyl, 1-butyl-2-propenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more 25 of the group consisting of R³², R³³, R³⁴, R³⁵, and R³⁶;

R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-

methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b;

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A is selected from the group consisting of single covalent bond, NH, N(CH₃), N(OH), CH₂, CH₃CH, CF₃CH, NHC(O), N(CH₃)C(O), C(O)NH, C(O)N(CH₃), CH₂CH₂, CH₂CH₂CH₂, CH₃CHCH₂, and CF₃CHCH₂;

M is selected from the group consisting of N and R¹-C;

10 R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

 R^2 is Z^0 -O:

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 Z^0 is selected from the group consisting of a covalent single bond, O, S, NH, and CH₂;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the

other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally

substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, 10 N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano:

R¹⁰ and R¹² are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

 Y^0 is selected from the group consisting of: $1-Q^{b}-4-Q^{s}-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene.

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R 16, R 17, R 18, and R 19 are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} :

 Q^b is selected from the group consisting of NR 20 R 21 , Q^{be} , wherein Q^{be} is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R²⁰, R²¹, R²³, R²⁴, R²⁵, and R²⁶ are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

Q^S is selected from the group consisting of a single covalent bond,

CH₂, and CH₂CH₂.

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19. The compound as recited in Claim 18 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido,ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl,(S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl; (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of single covalent bond, CH₂.

NHC(O), CH₂CH₂, CH₂CH₂CH₂, and CH₃CHCH₂;

20 M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

25 $R^2 \text{ is } Z^0 - Q;$

Z⁰ is selected from the group consisting of a covalent single bond, O,

S, NH, and CH₂;

Q is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-

30 hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl,



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3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 3-methylphenyl, 4-methylphenyl, 4-methylphenyl, 10
phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

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Y⁰ is selected from the group consisting of:

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$$3-Q^{b}-5-Q^{s}-4-R^{16}-2-R^{19}$$
 thiophene, and $2-Q^{b}-5-Q^{s}-3-R^{16}-4-R^{17}$ thiophene;

R¹⁶ and R¹⁹ are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

R¹⁷ and R¹⁸ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and C(NR²⁵)NR²³R²⁴;

 R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and methyl;

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Q^s is CH₂.

20. The compound as recited in Claim 17 having the Formula:

5 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group

32 33 34 35 36

consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and Q^b;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}$ - $(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is $N(R^7)$;

20 R⁷ is selected from the group consisting of hydrido and alkyl;

R¹⁵ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and R 1-C;

R¹ is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

5 R^2 is Z^0 -Q;

Z⁰ is a covalent single bond;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally substituted by R¹², and any carbon adjacent to both R¹⁰ and R¹² is optionally substituted by R¹¹;

15 R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkylamidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R¹⁰ and R¹² are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyamido, carboxyalkyl, and cyano;

Y⁰ is formula (IV):

wherein D⁵, D⁶, J⁵, and J⁶ are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K² is C, no more than one of D⁵, D⁶, J⁵, and J⁶ is O, no more than one of D⁵, D⁶, J⁵, and J⁶ is S, one of D⁵, D⁶, J⁵, and J⁶ must be a covalent bond when two of D⁵, D⁶, J⁵, and J⁶ are O and S, and no more than four of D⁵, D⁶, J⁵, and J⁶ are N;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

 Q^b is selected from the group consisting of NR 20 R 21 , Q^{be} wherein Q^{be} is hydrido, N(R 26)C(NR 25)N(R 23)(R 24), and C(NR 25)NR 23 R 24 ;

 R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido and alkyl;

Q^s is CH₂.

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21. The compound as recited in Claim 17 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, thyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butynyl, sec-butyl, tert-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-2-pentynyl, 1-methyl-2-

butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-3-pentenyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-

trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R³²,

$$R^{33}$$
, R^{34} , R^{35} , and R^{36} ;

R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl,

amidocarbonyl, carboxy, cyano, and Qb;

A is selected from the group consisting of single covalent bond, NH, $N(CH_3)$, CH_2 , CH_3CH , and CH_2CH_2 ;

A is optionally selected from the group consisting of $CH_2N(CH_3)$, $CH_2N(CH_2CH_3)$, $CH_2CH_2N(CH_3)$, and $CH_2CH_2N(CH_2CH_3)$ with the proviso that B is hydrido;

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M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

R² is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of

attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

15 R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

R 10 and R 12 are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

Y⁰ is selected from the group consisting of:

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> 2-Q^b-5-Q^s-6-R¹⁷-4-R¹⁸-2-R¹⁹ pyridine, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷ thiophene, 3-Q^b-6-Q^s-2-R¹⁶-5-R¹⁸-4-R¹⁹ pyridine, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹ thiophene, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹furan, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷furan, 3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹pyrrole, 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷pyrrole,

 $4-Q^{b}-2-Q^{s}-5-R^{19}$ thiazole, and $2-Q^{b}-5-Q^{s}-4-R^{17}$ thiazole;

 R^{16} , R^{17} , R^{18} , and R^{19} are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano.

 Q^b is selected from the group consisting of NR 20 R 21 , $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the proviso that said Q b group is bonded directly to a carbon atom;

 R^{20} , R^{21} , R^{23} , R^{24} , R^{25} , and R^{26} are independently selected from the group consisting of hydrido, methyl, and ethyl;

O^s is CH₂.

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22. The compound as recited in Claim 21 or a pharmaceutically acceptable salt 20 thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tertbutyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-

hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of single covalent bond, CH2,

5 CH₃CH, and CH₂CH₂;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, methyl, trifluoromethyl, fluoro, and chloro;

R² is selected from the group consisting of 5-amino-3amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl,
3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl,
benzyl, 3-carboxyphenyl, 3-carboxy-5hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5hydroxyphenyl, 3-carboxymethylphenyl, 3-ablased and 1-2-ablased and 1-2-abla

hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl,
 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl,
 2-fluorophenyl,
 3-fluorophenyl,
 2-hydroxyphenyl,
 3-methanesulfonylaminophenyl,
 2-methoxyphenyl,
 3-methoxyaminophenyl,
 3-methoxyaminophenyl,
 3-methoxyaminophenyl,
 3-methoxyaminophenyl,

methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

Y⁰ is selected from the group consisting of:

$$3-Q^{b}-5-Q^{s}-4-R^{16}-2-R^{19}$$
 thiophene, and $2-Q^{b}-5-Q^{s}-3-R^{16}-4-R^{17}$ thiophene;

R 16 and R 19 are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

R 17 and R 18 are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

 Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

R²³, R²⁴, and R²⁵ are independently selected from the group consisting of hydrido and methyl;

Q^s is CH₂.

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23. The compound as recited in Claim 22 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl:

A is selected from the group consisting of single covalent bond, CH_2 , CH_3CH , and CH_2CH_2 ;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, fluoro, and chloro;

R² is selected from the group consisting of 5-amino-2-fluorophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 3-carboxyphenyl, 3-cyanophenyl, 3-methoxycarbonylphenyl, phenyl, and 3-pyridyl;

Y⁰ is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

24. A compound as recited in Claim 17 where said compound is selected from the group having the Formula:

or a pharmaceutically acceptable salt thereof, wherein:

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R² is 3-aminophenyl, B is 2,2,2-trifluoroethyl, A is single bond, Y⁰ is 4amidinobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is (S)-2-butyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH;

 R^2 is 5-amino-2-fluorophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH;

20 R² is 2-methyl-3-aminophenyl, B is isopropyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is ethyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH;

R² is 3-aminophenyl, B is ethyl, A is single bond, Y⁰ is 4-amidino-2fluorobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is 2-propenyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH;

R² is 3-aminophenyl, B is isopropyl, A is single bond, Y⁰ is 4-amidino-2-fluorobenzyl, and M is CH;

R² is 3-aminophenyl, B is isopropyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH;

R² is 3-aminophenyl, B is 2-butyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH;

R² is 3-aminophenyl, B is (R)-2-butyl, A is single bond, Y⁰ is 4amidinobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is 2-propynyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH;

R² is 3-aminophenyl, B is 3-pentyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is hydrido, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is CH_3 ;

 R^2 is 3-aminophenyl, B is ethyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is CH;

R² is 3-aminophenyl, B is 2-methypropyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH;

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 R^2 is 3-aminophenyl, B is 2-propyl, A is CH_3CH , Y^0 is 4-amidinobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is propyl, A is single bond, Υ^0 is 4-amidino-2-fluorobenzyl, and M is CH;

R² is 3-aminophenyl, B is 6-amidocarbonylhexyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is tert-butyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH;

R² is 3-aminophenyl, B is tert-butyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH;

- R² is 3-aminophenyl, B is 3-hydroxypropyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH;
- R² is 3-aminophenyl, B is 2-methylpropyl, A is single bond, Y⁰ is 4-amidino-2-fluorobenzyl, and M is CH;
 - R² is 3-aminophenyl, B is butyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH;
- R² is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond, Y⁰ is 4amidinobenzyl, and M is CH;
 - R^2 is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH;
 - R^2 is 3-aminophenyl, B is 2-methoxy-2-ethyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH;
- 15 R² is 3-aminophenyl, B is 2-propyl, A is single bond, Y⁰ is 5-amidino-2-thienylmethyl, and M is CH;
 - R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, and M is CH;
- R^2 is 3-carboxyphenyl, B is 2-propyl, A is single bond, Y^0 is 4-20 amidinobenzyl, and M is CH;
 - R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, and M is CH;
 - R^2 is 3-aminophenyl, B is 2,2,2-trifluoroethyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;
- 25 R² is 3-aminophenyl, B is (S)-2-butyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is N;
 - R^2 is 5-amino-2-fluorophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;

 R^2 is 2-methyl-3-aminophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;

 R^2 is 3-aminophenyl, B is ethyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;

- R² is 3-aminophenyl, B is ethyl, A is single bond, Y⁰ is 4-amidino-2-fluorobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is 2-propenyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;
- R² is 3-aminophenyl, B is isopropyl, A is single bond, Y⁰ is 4-amidino-2fluorobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is isopropyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;
 - R² is 3-aminophenyl, B is 2-butyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is N;
- 15 R² is 3-aminophenyl, B is (R)-2-butyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is 2-propynyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;
- R² is 3-aminophenyl, B is 3-pentyl, A is single bond, Y⁰ is 4-20 amidinobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is hydrido, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is ethyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is N;
- R² is 3-aminophenyl, B is 2-methypropyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is 2-propyl, A is CH₃CH, Y^0 is 4-amidinobenzyl, and M is N;



- R^2 is 3-aminophenyl, B is propyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and M is N;
- R^2 is 3-aminophenyl, B is 6-amidocarbonylhexyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;
- R² is 3-aminophenyl, B is tert-butyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is tert-butyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;
- R^2 is 3-aminophenyl, B is 3-hydroxypropyl, A is single bond, Y^0 is 4-10 amidinobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is 2-methylpropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is butyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;
- R² is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;
- R² is 3-aminophenyl, B is 2-methoxy-2-ethyl, A is single bond, Y⁰ is 4-20 amidinobenzyl, and M is N;
 - R² is 3-aminophenyl, B is 2-propyl, A is single bond, Y⁰ is 5-amidino-2-thienylmethyl, and M is N;
 - R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, and M is N;
- 25 R² is 3-carboxyphenyl, B is 2-propyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is 2-propyl, A is single bond, Υ^0 is 4-amidino-3-fluorobenzyl, and M is CH.

25. The compound as recited in Claim 2 having the Formula:

or a pharmaceutically acceptable salt thereof, wherein;

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B is selected from the group consisting of C3-C7 cycloalkyl and C4 saturated heterocyclyl, wherein each ring carbon is optionally substituted with R³³, a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbon sand a nitrogen adjacent to the carbon atom at the point of attachment is optionally substituted with R⁹ or R¹³, a ring carbon or nitrogen adjacent to the R⁹ position and two atoms from the point of attachment is optionally substituted with R¹⁰, a ring carbon or nitrogen adjacent to the R¹³ position and two atoms from the point of attachment is optionally substituted with R¹², a ring carbon three atoms from the point of attachment and adjacent to the R¹⁰ position is optionally substituted with R¹¹, a ring carbon three atoms from the point of attachment and adjacent to the R¹² position is optionally substituted with R³³, and a ring carbon atoms from the point of attachment and adjacent to the R¹¹ and R³³ positions is optionally substituted with R³⁴;

20 R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl,

amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R¹⁰ and R¹² are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido. amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

R³³ and R³⁴ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and O^b;

A is selected from the group consisting of single covalent bond and (CH(R¹⁵))_{pa}-(W⁷)_{rr} wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W⁷ is selected from the group consisting of (R⁷)NC(O) and N(R⁷);

R⁷ is selected from the group consisting of hydrido, hydroxy and alkyl;

R¹⁵ is selected from the group consisting of hydrido, halo, alkyl, and
haloalkyl;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and

25 halo; R^2 is Z^0 -Q;

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 Z^0 is selected from the group consisting of a covalent single bond, O, S, NH, and CH₂:

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally substituted by R¹³, and any carbon adjacent to both R¹⁰ and R¹² is optionally substituted by R¹¹;

Y⁰ is formula (IV):

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wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 is S, one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl,

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alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

 R^{16} and R^{19} are ptionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

 Q^b is selected from the group consisting of NR²⁰R²¹, Q^{be} wherein Q^{be} is hydrido, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of R^{20} and R^{21} is hydroxy at the same time and that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R²⁰, R²¹, R²³, R²⁴, and R²⁵ are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

 Q^s is selected from the group consisting of a single covalent bond, CH_2 , and CH_2CH_2 .

26. The compound as recited in Claim 25 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-3-yl, cyclopentyl, cyclohexyl, norbornyl, bicyclo[3.1.0]hexan-6-yl, and cycloheptyl, wherein each ring carbon is optionally substituted with R³³, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment is optionally substituted with R⁹ or R¹³, a ring carbon or nitrogen adjacent to the R⁹ position and two atoms from the point of attachment is optionally substituted with R¹⁰, and a ring carbon or nitrogen adjacent to the R¹³ position and two atoms from the point of attachment is optionally substituted with R¹⁰, and a ring carbon or nitrogen adjacent to the R¹³ position and two atoms from the point of attachment is optionally substituted with R¹²;

R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino. N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, amidocarbonyl, and cyano;

R 10 and R 12 are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

R³³ and R³⁴ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and Q^b;

A is selected from the group consisting of single covalent bond, NH, N(CH₃), N(OH), CH₂, CH₃CH, CF₃CH, NHC(O), N(CH₃)C(O), C(O)NH, C(O)N(CH₃), CH₂CH₂, CH₂CH₂CH₂, CH₃CHCH₂, and CF₃CHCH₂;

M is selected from the group consisting of N and R^1 -C:

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

 R^2 is Z^0 -O:

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 Z^0 is selected from the group consisting of a covalent single bond, O, S, NH, and CH₂;

- Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the
 - other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^{9} and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally
- substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

Y⁰ is selected from the group consisting of:

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$$2 \cdot Q^b - 5 \cdot Q^s - 6 \cdot R^{17} - 4 \cdot R^{18}$$
 pyrimidine, $5 \cdot Q^b - 2 \cdot Q^s - 3 \cdot R^{16} - 6 \cdot R^{19}$ pyrimidine, $3 \cdot Q^b - 5 \cdot Q^s - 4 \cdot R^{16} - 2 \cdot R^{19}$ thiophene, $2 \cdot Q^b - 5 \cdot Q^s - 3 \cdot R^{16} - 4 \cdot R^{17}$ thiophene, $3 \cdot Q^b - 5 \cdot Q^s - 4 \cdot R^{16} - 2 \cdot R^{19}$ furan, $2 \cdot Q^b - 5 \cdot Q^s - 3 \cdot R^{16} - 4 \cdot R^{17}$ furan, $3 \cdot Q^b - 5 \cdot Q^s - 4 \cdot R^{16} - 2 \cdot R^{19}$ pyrrole, $2 \cdot Q^b - 5 \cdot Q^s - 3 \cdot R^{16} - 4 \cdot R^{17}$ pyrrole, $4 \cdot Q^b - 2 \cdot Q^s - 5 \cdot R^{19}$ imidazole, $2 \cdot Q^b - 4 \cdot Q^s - 5 \cdot R^{17}$ imidazole,

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$$3-Q^b-5-Q^s-4-R^{16}$$
 isoxazole, $5-Q^b-3-Q^s-4-R^{16}$ isoxazole, $2-Q^b-5-Q^s-4-R^{16}$ pyrazole, $4-Q^b-2-Q^s-5-R^{19}$ thiazole, and $2-Q^b-5-Q^s-4-R^{17}$ thiazole;

R 16, R 17, R 18, and R 19 are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidasulfonyl, N-methylamida

amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of and R^{19} is Q^b at the same time.

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 Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$, with the proviso that no more than one of R^{23} and R^{24} is hydroxy at the same time;

R²³, R²⁴, and R²⁵ are independently selected from the group consisting of hydrido, methyl, ethyl, and hydroxy;

 Q^{s} is selected from the group consisting of a single covalent bond, CH_{2} and $CH_{2}CH_{2}$.

27. The compound as recited in Claim 26 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and bicyclo[3.1.0]hexan-6-yl;

A is selected from the group consisting of single covalent bond, CH₂,

15 NHC(O), CH₂CH₂, and CH₂CH₂CH₂;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

 R^{2} is Z^{0} -Q;

 Z^0 is selected from the group consisting of a covalent single bond, O, S, NH, and CH₂;

Q is selected from the group consisting of 5-amino-3amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-

hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 3-methylphenyl, 4-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

10 Y⁰ is selected from the group consisting of:

15 R¹⁶ and R¹⁹ are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

20 R¹⁷ and R¹⁸ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

 Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and $C(NR^{25})NR^{23}R^{24}$;

R²³, R²⁴, and R²⁵ are independently selected from the group consisting of

25 hydrido and methyl;

Q^s is CH₂.

28. The compound as recited in Claim 25 having the Formula:

$$\mathbb{R}^{\mathbb{R}^2} \mathbb{N}^{\mathbb{R}^2}$$

or a pharmaceutically acceptable salt thereof, wherein;

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B is selected from the group consisting of C3-C7 cycloalkyl and C4 saturated heterocyclyl, wherein each ring carbon is optionally substituted with R³³, a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment is optionally substituted with R⁹ or R¹³, a ring carbon or nitrogen adjacent to the R⁹ position and two atoms from the point of attachment is optionally substituted with R¹⁰, a ring carbon or nitrogen adjacent to the R¹³ position and two atoms from the point of attachment is optionally substituted with R¹², a ring carbon three atoms from the point of attachment and adjacent to the R¹⁰ position is optionally substituted with R¹¹, a ring carbon three atoms from the point of attachment and adjacent to the R¹² position is optionally substituted with R³³, and a ring carbon four atoms from the point of attachment and adjacent to the R¹² position is optionally substituted with R³³, and a ring carbon four atoms from the point of attachment and adjacent to the R¹¹ and

R, R, and R are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower

R³³ positions is optionally substituted with R³⁴;

alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

 R^{10} and R^{12} are independently selected from the group consisting of

- hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy. alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxyamido, and cyano;
- 10 R³³ and R³⁴ are independently selected from the group consisting of hydrido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

15 R^{33} is optionally Q^b ;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}-(W^7)_{rr}$ wherein r is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is $N(R^7)$;

R⁷ is selected from the group consisting of hydrido and alkyl;

20 R¹⁵ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and R^1 -C;

R¹ is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

 R^2 is Z^0 -O:

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Z⁰ is a covalent single bond;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is

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carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

 R^9 , R^{11} , and R^{13} are independently selected from the group

10 consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkylamidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

R¹⁰ and R¹² are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyamido, carboxyalkyl, and cyano;

 Y^0 is formula (IV):

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wherein D^5 , D^6 , J^5 , and J^6 are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K^2 is C, no more than one of D^5 , D^6 , J^5 , and J^6 is O, no more than one of D^5 , D^6 , J^5 , and J^6 must be a covalent bond when two of D^5 , D^6 , J^5 and J^6 are C and S and J^6

must be a covalent bond when two of D^5 , D^6 , J^5 , and J^6 are O and S, and no more than four of D^5 , D^6 , J^5 , and J^6 are N;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

 Q^b is selected from the group consisting of NR 20 R 21 , Q^{be} wherein Q^{be} is hydrido, and $C(NR^{25})NR^{23}R^{24}$.

 R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido and alkyl;

Q^s is CH₂.

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20 29. The compound as recited in Claim 28 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and bicyclo[3.1.0]hexan-6-yl,

wherein each ring carbon is optionally substituted with R³³, ring carbons and a nitrogen atoadjacent to the carbon atom at the point of attachment is optionally

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substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally substituted with R^{10} , and a ring carbon or nitrogen adjacent to the R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} :

R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

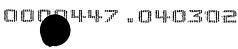
R¹⁰ and R¹² are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

R³³ are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, carboxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, cyano, and O^b;

A is selected from the group consisting of single covalent bond, NH, N(CH₃), CH₂, CH₃CH, CH₂CH₂, and CH₂CH₂CH₂;

25 M is selected from the group consisting of N and R^1 -C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;



 R^2 is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point f attachment is optionally substituted by R^9 , the other carbon adjacent to the carbon at the point of

- attachment is optionally substituted by R^{13} , a carbon adjacent to R^9 and two atoms from the carbon at the point of attachment is optionally substituted by R^{10} , a carbon adjacent to R^{13} and two atoms from the carbon at the point of attachment is optionally substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;
- Y⁰ is selected from the group consisting of: $1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$ benzene, $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-2-R^{19}$ pyridine, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ thiophene, $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$ pyridine, $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ thiophene, $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ furan, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ furan, $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$ pyrrole, $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$ pyrrole, $4-Q^b-2-Q^s-5-R^{19}$ thiazole, and $2-Q^b-5-Q^s-4-R^{17}$ thiazole:

R 16, R 17, R 18, and R 19 are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano.

 Q^b is selected from the group consisting of NR $^{20}R^{21}$ and

 $C(NR^{25})NR^{23}R^{24}$, with the proviso that said Q^b group is bonded directly to a carbon atom;

 R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, methyl, and ethyl:

Q^s is CH₂.

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30. The compound as recited in Claim 29 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, and azetidin-3-yl;

A is selected from the group consisting of a single covalent bond, CH₂, NHC(O), CH₂CH₂ and CH₂CH₂CH₂;

M is selected from the group consisting of N and R^1 -C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, methyl, trifluoromethyl, fluoro, and chloro;

R² is selected from the group consisting of 3-aminophenyl, 2,6-dichlorophenyl, 2-hydroxyphenyl, 5-amino-2-thienyl, and 3-thienyl;

Y is selected from the group consisting of:

1-Q^b-4-Q^s-2-R¹⁶-3-R¹⁷-5-R¹⁸-6-R¹⁹benzene,

3-Q^b-5-Q^s-4-R¹⁶-2-R¹⁹thiophene, and 2-Q^b-5-Q^s-3-R¹⁶-4-R¹⁷thiophene;

R¹⁶ and R¹⁹ are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

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R¹⁷ and R¹⁸ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

Q^b is selected from the group consisting of Q^{be} wherein Q^{be} is hydrido and C(NR²⁵)NR²³R²⁴;

R²³, R²⁴, and R²⁵ are independently selected from the group consisting of hydrido and methyl;

Q⁸ is CH₂.

31. The compound as recited in Claim 30 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, and azetidin-3-yl;

A is selected from the group consisting of a single covalent bond,

15 CH₂, CH₂CH₂ and CH₂CH₂CH₂;

M is selected from the group consisting of N and R 1-C;

R¹ is selected from the group consisting of hydrido, fluoro, and chloro;

R² is selected from the group consisting of 3-aminophenyl, 2,6-dichlorophenyl, 2-hydroxyhenyl, phenyl, 5-amino-2-thienyl, and 3-thienyl;

Y⁰ is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

32. A compound as recited in Claim 25 where said compound is selected from
 the group having the Formula:

or a pharmaceutically acceptable salt thereof, wherein:

 R^2 is 3-aminophenyl, B is cycylopropyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH;

R² is 3-aminophenyl, B is cyclobutyl, A is single bond, Y⁰ is 4-amidino-2-fluorobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH:

 R^2 is 3-aminophenyl, B is cyclopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidino-3-fluorobenzyl, and M is CH;

15 R² is 3-aminophenyl, B is cyclopentyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH:

 R^2 is 5-amino-2-thienyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is cyclopropyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is CH;

 R^2 is 3-aminophenyl, B is cyclopentyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and M is CH:

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R² is 3-aminophenyl, B is cyclohexyl, A is CH₂CH₂, Y⁰ is 4-amidinobenzyl, and M is CH;

- R² is 2-hydroxyphenyl, B is cyclobutyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH;
- R² is phenyl, B is cyclobutyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH;
 - R² is 3-thienyl, B is cyclobutyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CH;
- R² is 2,6-dichlorophenyl, B is cyclobutyl, A is single bond, Y⁰ is 4amidinobenzyl, and M is CH;
 - R^2 is 3-aminophenyl, B is cycylopropyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and M is N;
- 15 R² is 3-aminophenyl, B is cyclobutyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is cyclopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and M is N;
- R² is 3-aminophenyl, B is cyclobutyl, A is single bond, Y⁰ is 4-20 amidinobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Υ^0 is 4-amidino-3-fluorobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is cyclopentyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;
- 25 R² is 5-amino-2-thienyl, B is cyclobutyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is N;
 - R^2 is 3-aminophenyl, B is cyclopropyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is N;

 R^2 is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;

 R^2 is 3-aminophenyl, B is cyclopentyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and M is N;

R² is 3-aminophenyl, B is cyclohexyl, A is CH₂CH₂, Y⁰ is 4-amidinobenzyl, and M is N;

 R^2 is 2-hydroxyphenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;

 R^2 is phenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N;

R² is 3-thienyl, B is cyclobutyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is N;

 R^2 is 2,6-dichlorophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidinobenzyl, and M is N:

R² is 3-aminophenyl, B is cycylopropyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CF;

 R^2 is 3-aminophenyl, B is cyclobutyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and M is CF;

R² is 3-aminophenyl, B is cyclobutyl, A is single bond, Y⁰ is 4-20 amidinobenzyl, and M is CF;

 R^2 is 3-aminophenyl, B is cyclopropyl, A is single bond, Y^0 is 4-amidino-2-fluorobenzyl, and M is CF;

R² is 3-aminophenyl, B is cyclobutyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CF;

R² is 3-aminophenyl, B is cyclobutyl, A is single bond, Y⁰ is 4-amidino-3-fluorobenzyl, and M is CF;

R² is 3-aminophenyl, B is cyclopentyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CF;

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R² is 5-amino-2-thienyl, B is cy lobutyl, A is single bond. Y⁰ is 4-amidinob nzyl, and M is CF;

 R^2 is 3-aminophenyl, B is cyclopropyl, A is CH_2 , Y^0 is 4-amidinobenzyl, and M is CF;

R² is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CF;

R² is 3-aminophenyl, B is cyclopentyl. A is single bond, Y⁰ is 4-amidino-2-fluorobenzyl, and M is CF;

R² is 3-aminophenyl, B is cyclohexyl, A is CH₂CH₂, Y⁰ is 4-amidinobenzyl, and M is CF;

R² is 2-hydroxyphenyl, B is cyclobutyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CF;

R² is phenyl, B is cyclobutyl, A is single bond. Y⁰ is 4-amidinobenzyl, and M is CF;

15 R² is 3-thienyl, B is cyclobutyl, A is single bond, Y⁰ is 4-amidinobenzyl, and M is CF;

 R^2 is 2.6-dichlorophenyl, B is cyclobutyl, A is single bond. Y^0 is 4-amidinobenzyl, and M is CF.

20 33. The compound having the Formula:

or a pharmaccutically acceptable salt thereof, wherein;

B is the Formula:

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R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino, alkoxyamino, haloalkanoyl, nitro, lower alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, alkylamino, carboalkoxy, carboxy, carboxamido, cyano, and Q^b;

B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R³², R³³,

 $15 R^{34}, R^{35}, \text{ and } R^{36}$

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B is optionally selected from the group consisting of C3-C12 cycloalkyl and C4-C saturated heterocyclyl, wherein each ring carbon is optionally substituted with R^{33} , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R^9 or R^{13} , a ring carbon or nitrogen adjacent to the R^9 position and two atoms from the point of attachment is optionally

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substituted with R ¹⁰, a ring carbon or nitrogen adjacent to the R ¹³ position and two atoms from the point of attachment is optionally substituted with R ¹², a ring carbon three atoms from the point of attachment and adjacent to the R ¹⁰ position is optionally substituted with R ¹¹, a ring carbon three atoms from the point of attachment and adjacent to the R ¹² position is optionally substituted with R ³³, and a ring carbon four atoms from the point of attachment and adjacent to the R ¹¹ and R ³³ positions is optionally substituted with R ³⁴;

R⁹, R¹⁰, R¹¹, R¹², and R¹³ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxy, carboxyalkyl, carboxamido, and cyano;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}$ - $(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and W^7 is selected from the group consisting of O, S, C(O), $(R^7)NC(O)$, $(R^7)NC(S)$, and $N(R^7)$;

R⁷ is selected from the group consisting of hydrido, hydroxy and alkyl;

R¹⁵ is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and R¹-C:

R¹ is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

 R^2 is Z^0 -Q;

Z⁰ is selected from the group consisting of c valent single bond and $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 2, $(CH(R^{41}))_g$ W⁰-(CH(R⁴²))_p wherein g and p are integers independently selected from 0 through 3 and W^0 is selected from the group consisting of O, S, and $N(R^{41})$. and $(CH(R^{41}))_{e}-W^{22}-(CH(R^{42}))_{h}$ wherein e and h are integers independently selected from 0 through 1 and W²² is selected from the group consisting of CR⁴¹=CR⁴², 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-10 piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, with the 15 proviso that Z⁰ is directly bonded to the pyrazinone ring:

 R^{41} and R^{42} are independently selected from the group consisting of hydrido, hydroxy, and amino:

Q is selected from the group consisting of hydrido with the proviso that

Z⁰ is other than a covalent single bond, aryl and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at the point of attachment is optionally substituted by R¹⁰, a carbon adjacent to

R¹³ and two atoms from the carbon at the point of attachment is optionally

substituted by R^{12} , and any carbon adjacent to both R^{10} and R^{12} is optionally substituted by R^{11} ;

K is CHR^{4a} wherein R^{4a} is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

 E^{0} is selected from the group consisting of a covalent single bond, C(O)N(H), (H)NC(O), $(R^{7})NS(O)_{2}$, and $S(O)_{2}N(R^{7})$;

 Y^{AT} is $Q^{b}-Q^{s}$;

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 Q^{S} is $(CR^{37}R^{38})_{b}$ wherein b is an integer selected from 1 through 4, R^{37} is selected from the group consisting of hydrido, alkyl, and haloalkyl, and R^{38} is selected from the group consisting of hydrido, alkyl, haloalkyl, aroyl, and heteroaroyl with the provisos that there is at least one aroyl or heteroaroyl substituent, that no more than one aroyl or heteroaroyl is bonded to $(CR^{37}R^{38})_{b}$ at the same time, that said aroyl and said heteroaroyl are optionally substituted at from one through three of the ring carbons with a substituent selected from the group consisting of R^{16} , R^{17} , R^{18} , and R^{19} , that said aroyl and said heteroaroyl are bonded to the $CR^{37}R^{38}$ that is directly bonded to E^{0} , that is no more than one alkyl or one haloalkyl is bonded to a $CR^{37}R^{38}$ at the same time, and that said alkyl and haloalkyl are bonded to a carbon other than the one bonding the aroyl or heteroaroyl;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

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 R^{16} and R^{19} are optionally Q^b with the proviso that no more than one of R^{16} and R^{19} is Q^b at the same time and that Q^b is Q^{be} ;

 Q^b is selected from the group consisting of $NR^{20}R^{21}$, Q^{be} wherein Q^{be} is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the

provisos that no more than one of R^{20} and R^{21} is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of R^{23} and R^{24} is hydroxy, amino, alkylamino, or dialkylamino at the same time;

R²⁰, R²¹, R²³, R²⁴, R²⁵, and R²⁶ are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino.

34. The compound as recited in Claim 33 having the Formula:

or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula:

R³², R³³, R³⁴, R³⁵, and R³⁶ are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and Q^b;

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B is optionally selected from the group consisting of hydrido, ethyl, 2propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butynyl, secbutyl, tert-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-10 butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 15 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-20 trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R^{32} , R^{33} , R^{34} , R^{35} , and R^{36} ;

25 cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 2-(2R)-bicyclo[2.2.1]heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and
bicyclo[3.1.0]hexan-6-yl, wherein each ring carbon is optionally substituted
with R³³, ring carbons and anitrogen adjacent to the carbon atom at the point
of attachment is optionally substituted with R⁹ or R¹³, a ring carbon or
30 nitrogen adjacent to the R⁹ position and two atoms from the point of attachment
is optionally substituted with R¹⁰, and a ring carbon or nitrogen adjacent to the



 R^{13} position and two atoms from the point of attachment is optionally substituted with R^{12} ;

R⁹, R¹¹, and R¹³ are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2.2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

R¹⁰ and R¹² are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

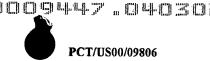
A is selected from the group consisting of single covalent bond, NH, N(CH₃), CH₂, CH₃CH, CH₂CH₂, and CH₂CH₂CH₂;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo:

R² is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R⁹, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R¹³, a carbon adjacent to R⁹ and two atoms from the carbon at the point of attachment is optionally substituted by





R¹⁰, a carbon adjacent to R¹³ and two atoms from the carbon at the point of attachment is optionally substituted by R 12, and any carbon adjacent to both R¹⁰ and R¹² is optionally substituted by R¹¹;

Q^s is selected from the group consisting of: 5

$$C[R^{37}(benzoyl)](CR^{37}R^{38})_{b}],$$

$$C[R^{37}(4-pyridylcarbonyl])](CR^{37}R^{38})_b],$$

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$$C[R^{37}(2-\text{thienylcarbonyl}])](CR^{37}R^{38})_{b}],$$

from 1 through 3, R³⁷ and R³⁸ are independently selected from the group 15 consisting of hydrido, alkyl, and haloalkyl, with the provisos that said aroyl and said heteroaroyl are optionally substituted at from one through three of the ring carbons with a substituent selected from the group consisting of R¹⁶,

$$R^{17}$$
, R^{18} , and R^{19} with the proviso that R^{17} and R^{18} are optionally

substituted at a carbon selected from other than the meta and para carbons 20 relative to the carbonyl of the benzoyl substituent and the heteroaroyl substituent, that said benzoyl and said heteroaroyl are bonded to the carbon directly bonded to amide nitrogen of the 1-(amidocarbonymethylene) group,

and that is no more than one alkyl or one haloalkyl is bonded to a $CR^{37}R^{38}$ at the same time;

R¹⁶, R¹⁷, R¹⁸, and R¹⁹ are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano;

Q^b is selected from the group consisting of NR²⁰R²¹ and C(NR²⁵)NR²³R²⁴, with the proviso that said Q^b group is bonded directly to a carbon atom;

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 R^{20} , R^{21} , R^{23} , R^{24} , and R^{25} are independently selected from the group consisting of hydrido, methyl, and ethyl.

35. The compound as recited in Claim 34 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, and 3-trifluoromethylphenyl;

B is optionally selected from the group consisting of hydrido,ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl,(S)-2-butyl, tert-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-

methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, and azetidin-3-yl;

A is selected from the group consisting of single covalent bond, CH₂, CH₃CH, CH₂CH₂, and CH₂CH₂CH₂;

M is selected from the group consisting of N and R¹-C;

R¹ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

R² is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-

- hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl,
- 2.6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2-bydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 4-methylphenyl,
- phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$$Y^{AT}$$
 is $O^b - O^s$:

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Q^S is selected from the group consisting of:

30 [CH(benzoyl)](CH₂)_b, [CH(2-pyridylcarbonyl)](CH₂)_b,

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[CH(3-pyridylcarbonyl)](CH₂)_b, [CH(4-pyridylcarbonyl)](CH₂)_b,

[CH(2-thienylcarbonyl)](CH₂)_b,[CH(3-thienylcarbonyl)](CH₂)_b.

[CH(2-thiazolylcarbonyl)](CH₂)_b, [CH(4-thiazolylcarbonyl)](CH₂)_b,

and [CH(5-thiazolylcarbonyl)](CH₂)_b. wherein b is an integer selected from 1

through 3, with the provisos that said aroyl and said heteroaroyl are optionally substituted at from one through three of the ring carbons with a substituent selected from the group consisting of R^{16} , R^{17} , R^{18} , and R^{19} with the

proviso that R¹⁷ and R¹⁸ are optionally substituted at a carbon selected from other than the meta and para carbons relative to the carbonyl of the benzoyl substituent and the heteroaroyl substituent, and that said benzoyl and said heteroaroyl substituent are bonded to the carbon directly bonded to amide nitrogen of the 1-(amidocarbonymethylene) group:

 R^{16} and R^{19} are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

R¹⁷ and R¹⁸ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

 Q^b is $C(NR^{25})NR^{23}R^{24}$;

 R^{23} , R^{24} , and R^{25} are independently selected from the group

20 consisting of hydrido and methyl.

36. The compound as recited in Claim 35 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, and phenyl;

B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl,(S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-

trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-

methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, and azetidin-3-yl;

A is selected from the group consisting of a single covalent bond, CH_2 , CH_2CH_2 and $CH_2CH_2CH_2$;

M is selected from the group consisting of N and R¹-C;

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R¹ is selected from the group consisting of hydrido, hydroxy, amino, methyl, trifluoromethyl, fluoro, and chloro;

R² is selected from the group consisting of 3-aminophenyl, benzyl, 2,6-dichlorophenyl, 5-amino-2-thienyl, 5-amino-2-fluorophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-carboxyphenyl, 3-cyanophenyl, 3-chlorophenyl, 2-hydroxyhenyl, 3-hydroxyphenyl, 3-

20 methanesulfonylaminophenyl, 3-methoxycarbonylphenyl, 3dimethylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3methylphenyl, phenyl, 3-pyridyl, 3-trifluoroacetamidophenyl, 3-bromo-2thienyl, 2-thienyl, and 3-thienyl;

YAT is selected from the group consisting of 5-guanidino-1-oxo-1-(2-25 thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-amino-2-thiazolyl)-2-pentyl, and 5-guanidino-1-oxo-1-phenyl-2-pentyl.

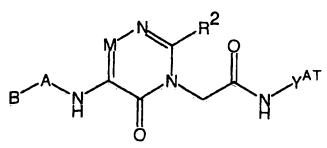
37. A compound as recited in Claim 33 where said compound is selected from30 the group having the Formula:

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or a pharmaceutically acceptable salt thereof, wherein:

 R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CH;

R² is phenyl, B is phenyl, A is CH₂CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CH;

R² is benzyl, B is phenyl, A is CH₂CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CH;

R² is phenyl, B is phenyl, A is CH₂CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2thiazolyl)-2-pentyl, and M is CH;

R² is benzyl, B is phenyl, A is CH₂CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CH;

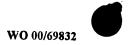
R² is phenyl, B is phenyl, A is CH₂CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CH;

R² is 3-aminophenyl, B is phenyl, A is CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CF;

 R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CF;

R² is benzyl, B is phenyl, A is CH₂CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-20 thiazolyl)-2-pentyl, and M is CF;

R² is phenyl, B is phenyl, A is CH₂CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CF;



- R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CF;
- R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CF;
- R² is 3-aminophenyl, B is phenyl, A is CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CCl;
 - R² is phenyl, B is phenyl, A is CH₂CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CCl;
- R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-10 thiazolyl)-2-pentyl, and M is CCl;
 - R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CCl;
 - R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CCl;
- 15 R² is phenyl, B is phenyl, A is CH₂CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CCl;
 - R^2 is 3-aminophenyl, B is phenyl, A is CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M isN;
- R² is phenyl, B is phenyl, A is CH₂CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is N;
 - R^2 is benzyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is N;
 - R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M isN;
- R² is benzyl, B is phenyl, A is CH₂CH₂, Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is N;

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 R^2 is phenyl, B is phenyl, A is CH_2CH_2 , Y^{AT} is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is N.

Sub.

- 38. A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of Claims 8, 16, 24, 32, and 37 and a pharmaceutically acceptable carrier.
- 39. A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of Claims 1 through 7, Claims 9 through 15, Claims 17
 through 23, Claims 25 through 31, and Claims 33 through 36 and a pharmaceutically acceptable carrier.
- 40. A method for inhibiting thrombotic conditions in blood comprising adding to blood a therapeutically effective amount of a composition of any one of
 Claims 38 and 39.
 - 41. A method for inhibiting formation of blood platelet aggregates in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 38 and 39.
 - 42. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 43. A method for treating or preventing venuous thromboembolism and pulmonary embolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 44. A method for treating or preventing deep vein thrombosis in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of of any one of Claims 38 and 39.

contd.

- 45. A method for treating or preventing cardiogenic thromboembolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 5 46. A method for treating or preventing thromboembolic stroke in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 47. A method for treating or prevening thrombosis associated with cancer and cancer chemotherapy in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 48. A method for treating or preventing unstable angina in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 49. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a compound of any one of Claims 1
 20 through 37 with a therapeutically effective amount of fibrinogen receptor antagonist.
- 50. The use of a compound of any one of Claims 1 through 37, or a pharmaceutically acceptable salt thereof, in the manufacture of medicament for inhibiting thrombus formation, treating thrombus formation, or preventing thrombus formation in a mammal.